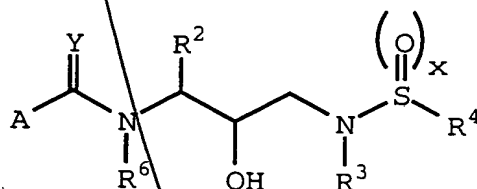


WHAT IS CLAIMED IS:

1. A compound represented by the formula:



or a pharmaceutically acceptable salt, prodrug or ester thereof, wherein

- R<sup>2</sup> is an alkyl, aryl, cycloalkyl, cycloalkylalkyl or aralkyl radical, which radical is optionally substituted with a radical selected from the group consisting of alkyl, halo, nitro, cyano, CF<sub>3</sub>, -OR<sup>9</sup>, and -SR<sup>9</sup>, wherein

- R<sup>9</sup> is a radical selected from the group consisting of hydrogen and alkyl;

- R<sup>3</sup> is a hydrogen, alkyl, haloalkyl, alkenyl, alkynyl, hydroxyalkyl, alkoxyalkyl, alkylthioalkyl, alkylsulfonylalkyl, cycloalkyl, cycloalkylalkyl, heterocycloalkyl, heteroaryl, heterocycloalkylalkyl, aryl, aralkyl, heteroaralkyl, aminoalkyl or mono- or disubstituted aminoalkyl radicals, wherein said substituents are selected from the group consisting of alkyl, aryl, aralkyl, cycloalkyl, cycloalkylalkyl, heteroaryl, heteroaralkyl, heterocycloalkyl and heterocycloalkylalkyl radicals; or where said aminoalkyl radical is disubstituted, said substituents along with the nitrogen atom to which they are attached, form a heterocycloalkyl or a heteroaryl radical;

- R<sup>4</sup> is an alkyl, haloalkyl, alkenyl, alkynyl, hydroxyalkyl, alkoxyalkyl, cycloalkyl, cycloalkylalkyl, heterocycloalkyl, heteroaryl, heterocycloalkylalkyl, aryl, aralkyl, aralkenyl, heteroaralkyl, aminoalkyl or

mono- or disubstituted aminoalkyl radical, wherein said substituents are selected from the group consisting of alkyl, aryl, aralkyl, cycloalkyl, cycloalkylalkyl, heteroaryl, heteroaralkyl, heterocycloalkyl and

5 heterocycloalkylalkyl radicals; or where said aminoalkyl radical is disubstituted, said substituents along with the nitrogen atom to which they are attached, form a heterocycloalkyl or a heteroaryl radical;

10 R<sup>6</sup> is a hydrogen or alkyl radical;

x is 1 or 2;

t is 0 or 1; and

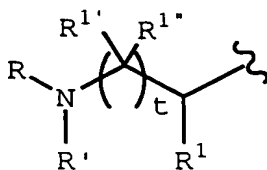
15

Y is O or S; and

A is an alkoxy, alkenoxy, aralkoxy, alkyl, cycloalkyl, cycloalkylalkoxy, cycloalkylalkyl, aralkyl, aryl,

20 aryloxy, heterocycloalkyl, heterocycloalkoxy, heterocycloalkylalkyl, heterocycloalkylalkoxy, heteroaralkyl, heteroaralkoxy, heteroaryloxy, heteroaryl, alkenyl, aryloxyalkyl, heteroaryloxyalkyl, hydroxyalkyl, amino, or mono- or disubstituted amino radical, wherein

25 the substituents are selected from the group consisting of alkyl, aryl, aralkyl, cycloalkyl, cycloalkylalkyl, heteroaryl, heteroaralkyl, heterocycloalkyl and heterocycloalkylalkyl radicals; or where said amino radical is disubstituted, said substituents along with  
30 the nitrogen atom to which they are attached form a heterocycloalkyl or heteroaryl radical; or is represented by the formula



defined for  $R^3$  or  $R^2$

defined for  $R^3$  or  $R^2$

R<sup>1</sup> is a hydrogen, -CO<sub>2</sub>CH<sub>3</sub>, -CH<sub>2</sub>CO<sub>2</sub>CH<sub>3</sub>, -CO<sub>2</sub>H, -CH<sub>2</sub>CO<sub>2</sub>H, -CH<sub>2</sub>CH<sub>2</sub>CONH<sub>2</sub>, -CH<sub>2</sub>CONH<sub>2</sub>, -CONH<sub>2</sub>, -CH<sub>2</sub>C(O)NHCH<sub>3</sub>,  
30 -CH<sub>2</sub>C(O)N(CH<sub>3</sub>)<sub>2</sub>, -CONHCH<sub>3</sub>, -CONH(CH<sub>3</sub>)<sub>2</sub>, -CH<sub>2</sub>SO<sub>2</sub>NH<sub>2</sub>, -CH<sub>2</sub>CH<sub>2</sub>SO<sub>2</sub>NH<sub>2</sub>, -CH<sub>2</sub>S[O]CH<sub>3</sub>, -CH<sub>2</sub>S[O]<sub>2</sub>CH<sub>3</sub>, -C(CH<sub>3</sub>)<sub>2</sub>(SCH<sub>3</sub>), -C(CH<sub>3</sub>)<sub>2</sub>(S[O]CH<sub>3</sub>), -C(CH<sub>3</sub>)<sub>2</sub>(S[O]<sub>2</sub>CH<sub>3</sub>), alkyl, hydroxyalkyl, cyanoalkyl, haloalkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, alkylthioalkyl, aralkyl,  
35 heteroaralkyl, aminoalkyl or mono- or disubstituted aminoalkyl radical, wherein said substituents are selected from the group consisting of alkyl, aryl,

aralkyl, cycloalkyl, cycloalkylalkyl, heteroaryl, heteroaralkyl, heterocycloalkyl and heterocycloalkylalkyl radicals; or where said aminoalkyl radical is disubstituted, said substituents along with the nitrogen atom to which they are attached, form a heterocycloalkyl or a heteroaryl radical; and

each of R1' and R1" are independently a radical as defined for R1; or one of R1' and R1" together with R1 and the carbon atoms to which R1, R1' and R1" are attached, form a cycloalkyl radical.

2. The compound of Claim 1 or a pharmaceutically acceptable salt, prodrug or ester thereof, wherein

R2 is an alkyl, aryl, cycloalkyl, cycloalkylalkyl or aralkyl radical, which radical is optionally substituted with a radical selected from the group consisting of alkyl, halo and -OR9, wherein R9 is a radical selected from the group consisting of hydrogen and alkyl;

R3 is a hydrogen, alkyl, haloalkyl, alkenyl, alkynyl, hydroxyalkyl, alkoxyalkyl, alkylthioalkyl, alkylsulfonylalkyl, cycloalkyl, cycloalkylalkyl, heterocycloalkyl, heteroaryl, heterocycloalkylalkyl, aryl, aralkyl, heteroaralkyl, aminoalkyl or mono- or disubstituted aminoalkyl radicals, wherein said substituents are selected from the group consisting of alkyl, aralkyl, cycloalkyl and cycloalkylalkyl radicals; or where said aminoalkyl radical is disubstituted, said substituents along with the nitrogen atom to which they are attached, form a heterocycloalkyl or a heteroaryl radical;

R4 is an alkyl, haloalkyl, alkenyl, alkynyl, hydroxyalkyl, alkoxyalkyl, cycloalkyl, cycloalkylalkyl,

R<sup>6</sup> is a hydrogen or alkyl radical;

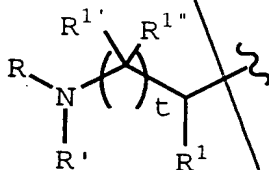
x is 1 or 2;

t is 0 or 1; and

10 Y is O or S; and

A is an alkoxy, alkenoxy, aralkoxy, alkyl, cycloalkyl, cycloalkylalkoxy, cycloalkylalkyl, aralkyl, aryl, aryloxy, heterocycloalkyl, heterocycloalkoxy, heterocycloalkylalkyl, heterocycloalkylalkoxy, heteroaralkyl, heteroaralkoxy, heteroaryloxy, heteroaryl, hydroxyalkyl, amino, or mono- or disubstituted amino radical, wherein the substituents are selected from the group consisting of alkyl, aralkyl, heteroaryl, heteroaralkyl, heterocycloalkyl and heterocycloalkyl radicals; or where said amino radical is disubstituted, said substituents along with the nitrogen atom to which they are attached form a heterocycloalkyl radical; or is represented by the formula

25



wherein R is a hydrogen, alkoxycarbonyl,  
aralkoxycarbonyl, alkylcarbonyl, carboxyalkanoyl,  
30 alkanoyl, aralkanoyl, aroyl, heterocyclylcarbonyl,  
heterocyclyloxycarbonyl, heterocyclylalkanoyl,  
heterocyclylalkoxycarbonyl, heteroaralkanoyl,  
heteroaralkoxycarbonyl, heteroaryloxy-carbonyl,  
heteroaroyl, alkyl, cycloalkyl, aralkyl, hydroxyalkyl,  
35 aminocarbonyl, aminoalkanoyl, or mono- or disubstituted

aminocarbonyl or mono- or disubstituted aminoalkanoyl radical, wherein the substituents are selected from the group consisting of alkyl, aralkyl, heteroaryl, heteroaralkyl, heterocycloalkyl and heterocycloalkylalkyl radicals; or wherein said aminocarbonyl or aminoalkanoyl radicals are disubstituted, said substituents along with the nitrogen atom to which they are attached form a heterocycloalkyl or heteroaryl radical;

10 R' is a hydrogen, alkyl or aralkyl radical or R"SO<sub>2</sub>-, wherein R" is a radical as defined for R<sup>3</sup>; or R and R' together with the nitrogen to which they are attached form a heterocycloalkyl or heteroaryl radical;

15 R<sup>1</sup> is a hydrogen, -CO<sub>2</sub>CH<sub>3</sub>, -CH<sub>2</sub>CO<sub>2</sub>CH<sub>3</sub>, -CO<sub>2</sub>H, -CH<sub>2</sub>CO<sub>2</sub>H, -CH<sub>2</sub>CH<sub>2</sub>CONH<sub>2</sub>, -CH<sub>2</sub>CONH<sub>2</sub>, -CONH<sub>2</sub>, -CH<sub>2</sub>C(O)NHCH<sub>3</sub>, -CH<sub>2</sub>C(O)N(CH<sub>3</sub>)<sub>2</sub>, -CONHCH<sub>3</sub>, -CONH(CH<sub>3</sub>)<sub>2</sub>, -CH<sub>2</sub>SO<sub>2</sub>NH<sub>2</sub>, -CH<sub>2</sub>CH<sub>2</sub>SO<sub>2</sub>NH<sub>2</sub>, -CH<sub>2</sub>S[O]CH<sub>3</sub>, -CH<sub>2</sub>S[O]<sub>2</sub>CH<sub>3</sub>, -C(CH<sub>3</sub>)<sub>2</sub>(SCH<sub>3</sub>), -C(CH<sub>3</sub>)<sub>2</sub>(S[O]CH<sub>3</sub>), -C(CH<sub>3</sub>)<sub>2</sub>(S[O]<sub>2</sub>CH<sub>3</sub>), alkyl,

20 hydroxyalkyl, cyanoalkyl, haloalkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, alkylthioalkyl, aralkyl, heteroaralkyl, aminoalkyl or mono- or disubstituted aminoalkyl radical, wherein said substituents are selected from the group consisting of alkyl, aralkyl, heteroaryl, heteroaralkyl, heterocycloalkyl and heterocycloalkylalkyl radicals; or where said aminoalkyl radical is disubstituted, said substituents along with the nitrogen atom to which they are attached, form a heterocycloalkyl or a heteroaryl radical; and

30 each of R<sup>1</sup>' and R<sup>1</sup>" are independently a radical as defined for R<sup>1</sup>; or one of R<sup>1</sup>' and R<sup>1</sup>" together with R<sup>1</sup> and the carbon atoms to which R<sup>1</sup>, R<sup>1</sup>' and R<sup>1</sup>" are attached, form a cycloalkyl radical.

35

3. The compound of Claim 2 or a pharmaceutically acceptable salt, prodrug or ester thereof, wherein

000010" 0000250

R<sup>2</sup> is an alkyl, aryl, cycloalkyl, cycloalkylalkyl or aralkyl radical, which radical is optionally substituted with a radical selected from the group consisting of alkyl, halo and -OR<sup>9</sup>, wherein R<sup>9</sup> is a radical selected from the group consisting of hydrogen and alkyl;

R<sup>3</sup> is a hydrogen, alkyl, haloalkyl, alkenyl, alkynyl, hydroxyalkyl, alkoxyalkyl, alkylthioalkyl, alkylsulfonylalkyl, cycloalkyl, cycloalkylalkyl, heterocycloalkyl, heteroaryl, heterocycloalkylalkyl, aryl, aralkyl, heteroaralkyl, aminoalkyl or mono- or dialkyl substituted aminoalkyl radical;

15 R<sup>4</sup> is an alkyl, haloalkyl, alkenyl, alkynyl, hydroxyalkyl, alkoxyalkyl, cycloalkyl, cycloalkylalkyl, heterocycloalkyl, heteroaryl, heterocycloalkylalkyl, aryl, aralkyl, aralkenyl or heteroaralkyl radical;

20 R<sup>6</sup> is a hydrogen or alkyl radical;

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x is 1 or 2;
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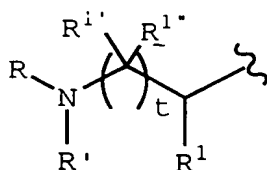
t is 0 or 1; and

Y is 0 or S; and

A is an alkoxy, alkenoxy, aralkoxy, alkyl, cycloalkyl, aryl, heterocycloalkyl, heterocycloalkoxy, heterocycloalkylalkyl, heteroaralkoxy, heteroaryl, amino, or mono- or disubstituted amino radical, wherein the substituents are selected from the group consisting of alkyl and aralkyl radicals; or is represented by the formula

35

253



wherein R is a hydrogen, alkoxycarbonyl, aralkoxycarbonyl, alkylcarbonyl, carboxyalkanoyl, alkanoyl, aroyl, heteroaroyl, alkyl, aralkyl, aminocarbonyl, aminoalkanoyl, or mono- or disubstituted aminocarbonyl or mono- or disubstituted aminoalkanoyl radical, wherein the substituents are selected from the group consisting of alkyl and aralkyl radicals;

R' is a hydrogen, alkyl or aralkyl radical or R"SO<sub>2</sub>-, wherein R" is a radical as defined for R<sup>3</sup>; or R and R' together with the nitrogen to which they are attached form a heterocycloalkyl or heteroaryl radical;

R<sup>1</sup> is a hydrogen, -CO<sub>2</sub>CH<sub>3</sub>, -CH<sub>2</sub>CO<sub>2</sub>CH<sub>3</sub>, -CO<sub>2</sub>H, -CH<sub>2</sub>CO<sub>2</sub>H, -CH<sub>2</sub>CH<sub>2</sub>CONH<sub>2</sub>, -CH<sub>2</sub>CONH<sub>2</sub>, -CONH<sub>2</sub>, -CH<sub>2</sub>C(O)NHCH<sub>3</sub>, -CH<sub>2</sub>C(O)N(CH<sub>3</sub>)<sub>2</sub>, -CONHCH<sub>3</sub>, -CONH(CH<sub>3</sub>)<sub>2</sub>, -CH<sub>2</sub>SO<sub>2</sub>NH<sub>2</sub>, -CH<sub>2</sub>CH<sub>2</sub>SO<sub>2</sub>NH<sub>2</sub>, -CH<sub>2</sub>S[O]CH<sub>3</sub>, -CH<sub>2</sub>S[O]<sub>2</sub>CH<sub>3</sub>, -C(CH<sub>3</sub>)<sub>2</sub>(SCH<sub>3</sub>), -C(CH<sub>3</sub>)<sub>2</sub>(S[O]CH<sub>3</sub>), -C(CH<sub>3</sub>)<sub>2</sub>(S[O]<sub>2</sub>CH<sub>3</sub>), alkyl, hydroxyalkyl, cyanoalkyl, haloalkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, alkylthioalkyl, aralkyl, heteroaralkyl, aminoalkyl or mono- or disubstituted aminoalkyl radical, wherein said substituents are selected from the group consisting of alkyl and aralkyl radicals; and

R<sup>1</sup>' is a hydrogen, alkyl or aralkyl; and R<sup>1</sup>" is a hydrogen, alkyl, -CO<sub>2</sub>CH<sub>3</sub> or -CONH<sub>2</sub>; or one of R<sup>1</sup>' and R<sup>1</sup>" together with R<sup>1</sup> and the carbon atoms to which R<sup>1</sup>, R<sup>1</sup>' and R<sup>1</sup>" are attached, form a cycloalkyl radical.

4. The compound of Claim 3 or a pharmaceutically acceptable salt, prodrug or ester thereof, wherein





wherein R is a hydrogen, alkoxycarbonyl, aralkoxycarbonyl, alkylcarbonyl, carboxyalkanoyl, alkanoyl, aroyl, heteroaroyl, alkyl, aralkyl, aminocarbonyl, aminoalkanoyl, or mono- or disubstituted aminocarbonyl or mono- or disubstituted aminoalkanoyl radical, wherein the substituents are selected from the group consisting of alkyl and aralkyl radicals;

10 R' is a hydrogen, alkyl or aralkyl radical or  $R''SO_2-$ , wherein R'' is a radical as defined for R<sup>3</sup>; or R and R' together with the nitrogen to which they are attached form a heterocycloalkyl or heteroaryl radical;

15 R<sup>1</sup> is a hydrogen, -CO<sub>2</sub>H, -CH<sub>2</sub>CO<sub>2</sub>H, -CH<sub>2</sub>CH<sub>2</sub>CONH<sub>2</sub>,  
-CH<sub>2</sub>CONH<sub>2</sub>, -CONH<sub>2</sub>, -CH<sub>2</sub>C(O)NHCH<sub>3</sub>, -CH<sub>2</sub>C(O)N(CH<sub>3</sub>)<sub>2</sub>,  
-CONHCH<sub>3</sub>, -CONH(CH<sub>3</sub>)<sub>2</sub>, -CH<sub>2</sub>SO<sub>2</sub>NH<sub>2</sub>, -CH<sub>2</sub>CH<sub>2</sub>SO<sub>2</sub>NH<sub>2</sub>, alkyl,  
hydroxyalkyl, cyanoalkyl, alkynyl, cycloalkylalkyl,  
alkylthioalkyl, aralkyl or heteroaralkyl radical; and

20 R<sup>1'</sup> is a hydrogen, alkyl or aralkyl; and R<sup>1''</sup> is a hydrogen, alkyl, -CO<sub>2</sub>CH<sub>3</sub> or -CONH<sub>2</sub>; or one of R<sup>1'</sup> and R<sup>1''</sup> together with R<sup>1</sup> and the carbon atoms to which R<sup>1</sup>, R<sup>1'</sup> and R<sup>1''</sup> are attached, form a cycloalkyl radical;

25 with the proviso that alkyl, alone or in combination, is  
a straight-chain or branched-chain hydrocarbon radical  
containing from one to eight carbon atoms; alkenyl, alone  
or in combination, is a straight-chain or branched-chain  
30 hydrocarbon radical having at least one double bond and  
containing from two to eight carbon atoms; alkynyl, alone  
or in combination, is a straight-chain or branched-chain  
hydrocarbon radical having at least one triple bond and  
containing from two to ten carbon atoms; and cycloalkyl,  
35 alone or in combination, is a hydrocarbon ring containing  
from three to eight carbon atoms.

5. The compound of Claim 4 or a pharmaceutically acceptable salt, prodrug or ester thereof, wherein

5 R<sup>2</sup> is an alkyl, cycloalkylalkyl or aralkyl radical, which radical is optionally substituted with a radical selected from the group consisting of alkyl, halo and -OR<sup>9</sup>, wherein R<sup>9</sup> is a radical selected from the group consisting of hydrogen and alkyl;

10 R<sup>3</sup> is a hydrogen, alkyl, alkenyl, alkynyl, hydroxyalkyl, alkoxyalkyl, alkylthioalkyl, alkylsulfonylalkyl, cycloalkyl, cycloalkylalkyl, heterocycloalkylalkyl, aryl, aralkyl, heteroaralkyl, aminoalkyl or mono- or dialkyl substituted aminoalkyl radical;

15 R<sup>4</sup> is an alkyl, haloalkyl, alkenyl, alkynyl, hydroxyalkyl, alkoxyalkyl, cycloalkyl, cycloalkylalkyl, heterocycloalkyl, heteroaryl, heterocycloalkylalkyl, aryl, aralkyl, aralkenyl or heteroaralkyl radical;

20 R<sup>6</sup> is a hydrogen or alkyl radical;

x is 1 or 2;

25 t is 0 or 1; and

Y is O or S; and

30 A is an alkoxy, alkenoxy, aralkoxy, alkyl, cycloalkyl, aryl, heterocycloalkyl, heterocycloalkoxy, heterocycloalkylalkyl, heteroaralkoxy, heteroaryl, amino, or mono- or disubstituted amino radical, wherein the substituents are selected from the group consisting of alkyl and aralkyl radicals; or is represented by the  
35 formula



containing from two to five carbon atoms; and cycloalkyl, alone or in combination, is a hydrocarbon ring containing from three to eight carbon atoms; and

5 with the proviso that when R<sup>2</sup> is cycloalkylalkyl and t is  
0, R' is a group other than alkoxy carbonyl.

6. The compound of Claim 5 or a pharmaceutically acceptable salt, prodrug or ester thereof, wherein

10

R<sup>2</sup> is butyl, cyclohexylmethyl, benzyl, 4-fluorobenzyl or naphthylmethyl;

R<sup>3</sup> is methyl, ethyl, propyl, butyl, pentyl, hexyl, iso-butyl, iso-amyl, 3-methoxypropyl, 3-methylthiopropyl, 4-methylthiobutyl, 4-methylsulfonylbutyl, 2-dimethylaminoethyl, 2-(1-morpholino)ethyl, 4-hydroxybutyl, allyl, propargyl, cyclohexylmethyl, cyclopropylmethyl, phenyl, benzyl, 4-fluorobenzyl, 4-methoxybenzyl, 1-phenylethyl, 2-phenylethyl, naphthylmethyl, 3-pyridylmethyl or 4-pyridylmethyl;

R4 is methyl, ethyl, propyl, butyl, ethenyl,  
chloromethyl, cyclopropyl, cyclobutyl, cyclopentyl,  
25 cyclohexyl, phenyl, naphthyl, chlorophenyl, fluorophenyl,  
hydroxyphenyl, methylphenyl, methoxyphenyl, ethoxyphenyl,  
methylthiophenyl, methylsulfoxyphenyl,  
methylsulfonylphenyl, acetamidophenyl,  
methoxycarbonylphenyl, dimethylaminophenyl, nitrophenyl,  
30 trifluoromethylphenyl, benzyl, 2-phenylethenyl or  
thienyl;

R6 is hydrogen;

35 x is 2;

t is 0 or 1; and

259

s O; and

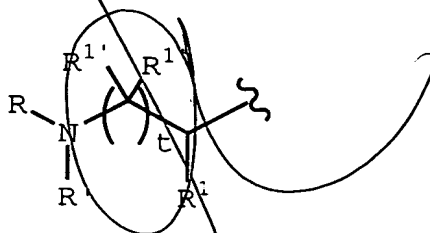
s methyl, cyclohexyl, cyclopentyl, cycloheptyl, 3,4-tetrahydronaphthyl, naphthyl, quinolinylyl, pyridyl, methylpyridyl, furanyl, thiophenyl, thiazolyl, phenyl, methylphenyl, ethylphenyl, iso-propylphenyl, chlorophenyl, hydroxyphenyl, methoxyphenyl, methylsulfonylphenyl, methylsulfonylmethylphenyl, carboxyphenyl, carbonylphenyl, methylhydroxyphenyl, methylaminophenyl, methyl-N-methylaminophenyl, t-butoxy, benzyloxy, pyridyloxy, hydroxypyridylmethoxy, aminopyridylmethoxy, N-oxo-pyrimidinylmethoxy, thiazolylmethoxy, tetrahydrothiophenoxy, 1,1-dihydrothiophenoxy, tetrahydrofuranoxo, amino, benzylamino or isopropylamino; or represented by the formula

wherein R is hydrogen, acetyl, phenoxyacetyl, benzoyloxyacetyl, naphthalenoxyacetyl, succinoyl, 2-oxopropionoyl, 2-hydroxypropionoyl, t-butoxy carbonyl, methoxybenzyloxycarbonyl, carbonyl, quinolinylcarbonyl, N-methylglycyl, dimethylglycyl;

s hydrogen, benzyl or methyl; or R and R' together with the nitrogen to which they are attached form a ring;

s hydrogen,  $-CO_2H$ ,  $-CH_2CO_2H$ ,  $-CH_2CH_2CONH_2$ ,  $-CH_2CH_2CONHCH_3$ ,  $-CH_2C(O)NHCH_3$ ,  $-CH_2C(O)N(CH_3)_2$ ,  $-CONHCH_3$

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-CONH(CH<sub>3</sub>)<sub>2</sub>, -CH<sub>2</sub>SO<sub>2</sub>NH<sub>2</sub>, -CH<sub>2</sub>CH<sub>2</sub>SO<sub>2</sub>NH<sub>2</sub>, methyl, ethyl, propyl, isopropyl, butyl, isobutyl, sec-butyl, tert-butyl, 3-methylbutyl, cyclohexylmethyl, benzyl, hydroxybenzyl, imidazolyl, imidazolylmethyl, cyanomethyl, methylthiomethyl, propargyl or hydroxyethyl; and

R<sup>1</sup>' is hydrogen, methyl, ethyl, propyl, isopropyl, butyl, isobutyl, benzyl, phenylethyl, phenylpropyl, phenylbutyl or 4,4-diphenylbutyl; and R<sup>1</sup>" is hydrogen, methyl, -CO<sub>2</sub>CH<sub>3</sub> or -CONH<sub>2</sub>; or one of R<sup>1</sup>' and R<sup>1</sup>" together with R<sup>1</sup> and the carbon atoms to which R<sup>1</sup>, R<sup>1</sup>' and R<sup>1</sup>" are attached, form cyclobutyl, cyclopentyl or cyclohexyl;

with the proviso that when R<sup>2</sup> is cyclohexylmethyl and t is 0, R' is a group other than t-butoxycarbonyl.

7. The compound of Claim 1 which is:

Phenylmethyl[2R-hydroxy-3-[(3-methylbutyl) (methylsulfonyl) amino]-1S-(phenylmethyl)propyl]carbamate;

Phenylmethyl[2R-hydroxy-3-[(3-methylbutyl) (phenylsulfonyl) amino]-1S-(phenylmethyl)propyl]carbamate;

N1-[2R-hydroxy-3-[(3-methylbutyl) (methylsulfonyl) amino]-1S-(phenylmethyl)propyl]-2S-[(2-quinolinylcarbonyl) amino] butanediamide;

N1-[2R-hydroxy-3-[(3-methylbutyl) (methylsulfonyl) amino]-1S-(phenylmethyl)propyl]-2S-[(phenylmethyloxycarbonyl) amino] butanediamide;

N1-[2R-hydroxy-3-[(3-methylbutyl) (phenylsulfonyl) amino]-1S-(phenylmethyl)propyl]-2S-[(2-quinolinylcarbonyl) amino] butanediamide;





5 Carbamic acid, [2R-hydroxy-3-[(4-methoxyphenylsulfonyl)  
(2-methylpropyl)amino]-1S-(phenylmethyl)propyl-, 3-S-  
tetrahydrofuran-3-yl-ester;

Carbamic acid, [2R-hydroxy-3-[[[4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1S-(phenylmethyl)propyl]-, 5-(thiazolyl)methyl ester;

Carbamic acid, [2R-hydroxy-3-[[ (4-  
hydroxyphenyl) sulfonyl] (2-methylpropyl) amino]-1S-  
15 (phenylmethyl) propyl]-, 5-(thiazolyl) methyl ester;

Benzamide, N-[2R-hydroxy-3-[[ (4-hydroxyphenyl)sulfonyl] (2-methylpropyl) amino] -1S-(phenylmethyl)propyl] -2-methyl;

Carbamic acid, [2R-hydroxy-3-[[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1S-(phenylmethyl)propyl]-, 3-(6-aminopyridyl)methyl ester;

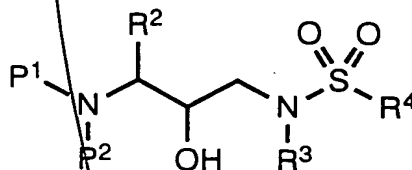
25 Carbamic acid, [2R-hydroxy-3-[[[4-  
hydroxyphenyl)sulfonyl](2-methylpropyl)amino]-1S-  
(phenylmethyl)propyl]-, 3-(6-aminopyridyl)methyl ester;

30 Carbamic acid, [2R-hydroxy-3-[[[(4-methoxyphenyl)sulfonyl]  
(2-methylpropyl)amino]-1S-(phenylmethyl)propyl]-, 3-(6-  
hydroxypyridyl)methyl ester;

Carbamic acid, [2R-hydroxy-3-[[[(4-hydroxyphenyl)sulfonyl]  
(2-methylpropyl)amino]-1S-(phenylmethyl)propyl]-, 5-  
35 pyrimidylmethyl ester; or

Benzamide, N-[2R-hydroxy-3-[[ (4-methoxyphenyl) sulfonyl] (2-methylpropyl) amino]-1S-(phenylmethyl)propyl]-2-methyl.

8. A compound represented by the formula:



or a pharmaceutically acceptable salt, prodrug or ester thereof, wherein

each of P<sup>1</sup> and P<sup>2</sup> independently represent hydrogen, alkoxy carbonyl, aralkoxy carbonyl, alkyl carbonyl, cycloalkyl carbonyl, cycloalkyl alkoxy carbonyl, cycloalkyl alkanoyl, alkanoyl, aralkanoyl, aroyl, aryloxy carbonyl, aryloxy carbonyl alkyl, aryloxy alkanoyl, heterocyclyl carbonyl, heterocyclyloxy carbonyl, heterocyclyl alkanoyl, heterocyclyl alkoxy carbonyl, heteroaralkanoyl, heteroaralkoxy carbonyl, heteroaryloxy carbonyl, heteroaroyl, alkyl, alkenyl, cycloalkyl, aryl, aralkyl, aryloxy alkyl, heteroaryloxy alkyl, hydroxy alkyl, aminocarbonyl, amino alkanoyl, or mono- or disubstituted aminocarbonyl or mono- or disubstituted amino alkanoyl radical, wherein the substituents are selected from the group consisting of alkyl, aryl, aralkyl, cycloalkyl, cycloalkyl alkyl, heteroaryl, heteroaralkyl, heterocycloalkyl and heterocycloalkyl radicals; or where said amino alkanoyl radical is disubstituted, said substituents along with the nitrogen atom to which they are attached form a heterocycloalkyl or heteroaryl radical;

R<sup>2</sup> is an alkyl, aryl, cycloalkyl, cycloalkyl alkyl or aralkyl radical, which radicals are optionally substituted with a group selected from alkyl and halogen

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radicals, nitro, cyano,  $\text{CF}_3$ ,  $-\text{OR}^9$ ,  $-\text{SR}^9$ , wherein  $\text{R}^9$  is a hydrogen or alkyl radical;

5 R<sup>3</sup> is a hydrogen, alkyl, haloalkyl, alkenyl, alkynyl,  
hydroxyalkyl, alkoxyalkyl, cycloalkyl, cycloalkylalkyl,  
heterocycloalkyl, heteroaryl, heterocycloalkylalkyl,  
aryl, aralkyl, heteroaralkyl, aminoalkyl or mono- or  
disubstituted aminoalkyl radical, wherein said  
substituents are selected from the group consisting of  
10 alkyl, aryl, aralkyl, cycloalkyl, cycloalkylalkyl,  
heteroaryl, heteroaralkyl, heterocycloalkyl and  
heterocycloalkylalkyl radicals; or where the aminoalkyl  
radical is disubstituted, said substituents along with  
the nitrogen atom to which they are attached, form a  
15 heterocycloalkyl or a heteroaryl radical; and

R<sup>4</sup> is a radical as defined by R<sup>3</sup> except for hydrogen.

9. The compound of Claim 8, wherein each of p<sup>1</sup> and  
20 p<sup>2</sup> independently represent a hydrogen, alkoxycarbonyl,  
aralkyloxycarbonyl, heteroaralkoxycarbonyl, aroyl,  
heteroaroyl, alkanoyl or cycloalkanoyl radical;

R<sup>2</sup> is a cycloalkylalkyl, ~~an~~alkyl or alkyl radical;

R<sup>3</sup> is an alkyl, cycloalkyl or cycloalkylalkyl radical;  
and

30 R<sup>4</sup> is an aryl, alkyl, heteroaryl or aryl radical.

10. The compound of Claim 9, wherein p<sup>1</sup> and p<sup>2</sup> independently represent 3-pyridylmethyloxycarbonyl, 3-pyridylmethyloxycarbonyl N-oxide, 4-pyridylmethyloxycarbonyl, 4-pyridylmethyloxycarbonyl N-oxide, 5-pyrimidylmethyloxycarbonyl, tert-butylloxycarbonyl, allyloxycarbonyl, 2-propyloxycarbonyl, benzyloxycarbonyl, cycloheptylcarbonyl,

cyclohexylcarbonyl, cyclopentylcarbonyl, benzoyl, 4-pyridylcarbonyl, 2-methylbenzoyl, 3-methylbenzoyl, 4-methylbenzoyl, 2-chlorobenzoyl, 2-ethylbenzoyl, 2,6-dimethylbenzoyl, 2,3-dimethylbenzoyl, 2,4-dimethylbenzoyl or 2,5-dimethylbenzoyl;

R<sup>2</sup> is benzyl, cyclohexylmethyl, 2-naphthylmethyl, para-fluorobenzyl, para-methoxybenzyl, isobutyl or n-butyl;

R<sup>3</sup> is isobutyl, isopropyl, cyclohexyl, cyclohexylmethyl, n-butyl or n-propyl; and

R<sup>4</sup> is phenyl, para-methoxyphenyl, para-cyanophenyl, para-chlorophenyl, para-hydroxyphenyl, para-nitrophenyl, para-fluorophenyl, 2-naphthyl, 3-pyridyl, 3-pyridyl N-oxide, 4-pyridyl or 4-pyridyl N-oxide;

with the proviso that when R<sup>2</sup> is cyclohexylmethyl, each of P<sup>1</sup> and P<sup>2</sup> independently represent a group other than tert-butyloxycarbonyl.

11. A compound of Claim 8 which is:

Phenylmethyl[2R-hydroxy-3-[(2-methylpropyl)(phenylsulfonyl)amino]-1S-(phenylmethyl)propyl]carbamate;

Phenylmethyl[2R-hydroxy-3-[(2-methylpropyl)(4-methoxyphenylsulfonyl)amino]-1S-(phenylmethyl)propyl]carbamate;

Phenylmethyl[2R-hydroxy-3-[(2-methylpropyl)(4-fluorophenylsulfonyl)amino]-1S-(phenylmethyl)propyl]carbamate;

Phenylmethyl[2R-hydroxy-3-[(2-methylpropyl)(4-nitrophenylsulfonyl)amino]-1S-(phenylmethyl)propyl]carbamate;

Phenylmethyl[2R-hydroxy-3-[(2-methylpropyl)(4-acetamidophenylsulfonyl)amino]-1S-(phenylmethyl)propyl]carbamate;

Phenylmethyl[2R-hydroxy-3-[(2-methylpropyl)(4-aminophenylsulfonyl)amino]-1S-(phenylmethyl)propyl]carbamate;

Phenylmethyl[2R-hydroxy-3-[(3-methylbutyl)(4-methoxyphenylsulfonyl)amino]-1S-(phenylmethyl)propyl]carbamate;

Phenylmethyl[2R-hydroxy-3-[(3-methylbutyl)(4-fluorophenyl  
sulfonyl)amino]-1S-(phenylmethyl)propyl]carbamate;

Phenylmethyl[2R-hydroxy-3-[(3-methylbutyl)(4-nitrophenyl  
sulfonyl)amino]-1S-(phenylmethyl)propyl]carbamate;

Phenylmethyl[2R-hydroxy-3-[(3-methylbutyl)(4-chlorophenylsulfonyl)amino]-1S-(phenylmethyl)propyl]carbamate;

Phenylmethyl[2R-hydroxy-3-[(2-methylpropyl)(4-methoxyphenyl sulfonyl)amino]-1S-(4-fluorophenylmethyl)propyl]carbamate;

Phenylmethyl[2R-hydroxy-3-[(2-methylpropyl)(4-fluorophenylsulfonyl)amino]-1S-(4-fluorophenylmethyl)propyl]carbamate;

Phenylmethyl[2R-hydroxy-3-[(butyl)(phenylsulfonyl)amino]-1S-(phenylmethyl)propyl]carbamate;

Phenylmethyl[2R-hydroxy-3-[(cyclohexyl)(phenylsulfonyl)amino]-1S-(phenylmethyl)propyl]carbamate;

5 amino]-1S-(phenylmethyl)propyl]carbamate;

Phenylmethyl[2R-hydroxy-3-[(propyl)(phenylsulfonyl)amino]-1S-(phenylmethyl)propyl]carbamate;

10 Pentanamide, 2S-[[[(dimethylamino)acetyl]amino]-N-2R-  
hydroxy-3-[(3-methylpropyl)(4-methoxyphenylsulfonyl)  
amino]-1S-(phenylmethyl)propyl]-3S-methyl;

15 Pentanamide, 2S-[[ (methylamino) acetyl] amino] -N-2R-  
hydroxy-3-[(4-methylbutyl) (phenylsulfonyl) amino] -1S-  
(phenylmethyl) propyl] -3S-methyl;

20 Pentanamide, 2S-[[[(dimethylamino)acetyl]amino]-N-2R-  
hydroxy-3-[(4-methylbutyl)(phenylsulfonyl)amino]-1S-  
(phenylmethyl)propyl]-3S-methyl;

[2R-hydroxy-3-[[ (4-methoxyphenyl) sulfonyl] (2-methylpropyl) amino] -1S-(phenylmethyl) propylamine;

25 2R-hydroxy-3-[(2-methylpropyl)(4-hydroxyphenyl)sulfonyl]  
amino-1S-(phenylmethyl)propylamine;

[2R-hydroxy-3-[(phenylsulfonyl)(3-methylbutyl)amino]-1S-(phenylmethyl)propylamine;

30 [2R-hydroxy-3-[(phenylsulfonyl)(2-methylpropyl)amino]-1S-(phenylmethyl)propylamine;

35 [2R-hydroxy-3-[(phenylsulfonyl)(cyclohexylmethyl)amino]-  
1S-(phenylmethyl)propylamine;

[2R-hydroxy-3-[(phenylsulfonyl)(cyclohexyl)amino]-1S-(phenylmethyl)propyl]amine;

4-Pyridinecarboxamide, N-[2R-hydroxy-3-[[4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1S-(phenylmethyl)propyl];

Benzamide, N-[2R-hydroxy-3-[[4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1S-(phenylmethyl)propyl]-2,6-dimethyl;

Benzamide, N-[2R-hydroxy-3-[[4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1S-(phenylmethyl)propyl]-2-methyl;

Benzamide, N-[2R-hydroxy-3-[[4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1S-(phenylmethyl)propyl]-2-ethyl;

Benzamide, N-[2R-hydroxy-3-[[4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1S-(phenylmethyl)propyl]-2-chloro;

Carbamic acid, [2R-hydroxy-3-[[4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1S-(phenylmethyl)propyl]-, 3-pyridylmethyl ester;

Carbamic acid, [2R-hydroxy-3-[[4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1S-(phenylmethyl)propyl]-, 3-pyridylmethyl ester, N-oxide;

Carbamic acid, [2R-hydroxy-3-[[phenylsulfonyl](2-methylpropyl)amino]-1S-(phenylmethyl)propyl]-, 3-pyridylmethyl ester;

Carbamic acid, [2R-hydroxy-3-[[4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1S-(phenylmethyl)propyl]-, 4-pyridylmethyl ester;

Carbamic acid, [2R-hydroxy-3-[[[4-methoxyphenyl)sulfonyl]  
(2-methylpropyl)amino]-1S-(phenylmethyl)propyl]-, 4-  
pyridylmethyl ester, N-oxide;

- 5 Carbamic acid, [2R-hydroxy-3-[[[4-chlorophenyl)sulfonyl]  
(2-methylpropyl)amino]-1S-(phenylmethyl)propyl]-, 3-  
pyridylmethyl ester;

- 10 Carbamic acid, [2R-hydroxy-3-[[[4-nitrophenyl)sulfonyl]  
(2-methylpropyl)amino]-1S-(phenylmethyl)propyl]-, 3-  
pyridylmethyl ester;

- 15 Carbamic acid, [2R-hydroxy-3-[[[4-fluorophenyl)sulfonyl]  
(2-methylpropyl)amino]-1S-(phenylmethyl)propyl]-, 3-  
pyridylmethyl ester;

- 20 Carbamic acid, [2R-hydroxy-3-[[[4-hydroxyphenyl)sulfonyl]  
(2-methylpropyl)amino]-1S-(phenylmethyl)propyl]-, 3-  
pyridylmethyl ester; or

Carbamic acid, [2R-hydroxy-3-[[[4-methoxyphenyl)sulfonyl]  
(2-methylpropyl)amino]-1S-(phenylmethyl)propyl]-, 5-  
pyrimidylmethyl ester.

- 25 12. A compound of Claim 8 which is:

Carbamic acid, [2R-hydroxy-3-[[[4-methoxyphenyl)sulfonyl]  
(methylpropyl)amino]-1S-(phenylmethyl)propyl]-, 5-  
thiazolylmethyl ester;

- 30 Carbamic acid, [2R-hydroxy-3-[[[4-hydroxyphenyl)sulfonyl]  
(2-methylpropyl)amino]-1S-(phenylmethyl)propyl]-, 5-  
thiazolylmethyl ester;

- 35 Carbamic acid, [2R-hydroxy-3-[[[4-methoxyphenyl)sulfonyl]  
(2-methylpropyl)amino]-1S-(phenylmethyl)propyl]-, 3-  
furanylmethyl ester;



2R-hydroxy-3-[[ (4-aminophenyl) sulfonyl] (2-methylpropyl) amino]-1S-(phenylmethyl) propylamine;

Carbamic acid, 2R-hydroxy-3-[[[(4-aminophenyl)sulfonyl](2-  
10 methylpropyl)amino]-1S-(phenylmethyl)propyl-, 3-  
furanylmethyl ester;

15 Carbamic acid, 2R-hydroxy-3-[[[(4-aminophenyl)sulfonyl](2-methylpropyl)amino]-1S-(phenylmethyl)propyl-, 5-thiazolylmethyl ester;

Benzamide, N-[2R-hydroxy-3-[[ (4-aminophenyl) sulfonyl] (2-methylpropyl) amino]-1S-(phenylmethyl)propyl]-2-methyl;

20 Benzamide, N-[2R-hydroxy-3-[[[(4-aminophenyl)sulfonyl](2-methylpropyl)amino]-1S-(phenylmethyl)propyl]-3-hydroxy-2-methyl;

25 Carbamic acid, 2R-hydroxy-3-[[[(2-aminobenzothiazol-6-yl)  
sulfonyl](2-methylpropyl)amino]-1S-(phenylmethyl)propyl-,  
phenylmethyl ester;

Carbamic acid, 2R-hydroxy-3-[[[(benzothiazol-6-yl)  
sulfonyl](2-methylpropyl)amino]-1S-(phenylmethyl)propyl-,  
30 phenylmethyl ester;

2R-hydroxy-3-[[ (3-aminophenyl) sulfonyl] (2-methylpropyl) amino]-1S-(phenylmethyl)propylamine;

35 Carbamic acid, 2R-hydroxy-3-[[[(3-aminophenyl)sulfonyl](2-methylpropyl)amino]-1S-(phenylmethyl)propyl-, 5-thiazolylmethyl ester;

- Benzamide, N-[2R-hydroxy-3-[[ (3-aminophenyl) sulfonyl] (2-methylpropyl) amino]-1S-(phenylmethyl)propyl]-3-hydroxy-2-methyl;
- 5 Carbamic acid, 2R-hydroxy-3-[[ (2-amino benzothiazol-5-yl) sulfonyl] (2-methylpropyl) amino]-1S-(phenylmethyl)propyl-, phenylmethyl ester;
- 10 Carbamic acid, 2R-hydroxy-3-[[ (2-aminobenzothiazol-7-yl) sulfonyl] (2-methylpropyl) amino]-1S-(phenylmethyl)propyl-, phenylmethyl ester;
- 15 2R-hydroxy-3-[[ (2,3-dihydrobenzofuran-5-yl) sulfonyl] (2-methylpropyl) amino]-1S-(phenylmethyl) propylamine;
- 20 Carbamic acid, [2R-hydroxy-3-[[ (2,3-dihydrobenzofuran-5-yl) sulfonyl] (2-methylpropyl) amino]-1S-(phenylmethyl) propyl-, 3-pyridylmethyl ester;
- 25 Carbamic acid, [2R-hydroxy-3-[[ (2,3-dihydrobenzofuran-5-yl) sulfonyl] (2-methylpropyl) amino]-1S-(phenylmethyl) propyl-, 5-thiazolylmethyl ester;
- 30 Benzamide, N-[2R-hydroxy-3-[[ (2,3-dihydrobenzofuran-5-yl) sulfonyl] (2-methylpropyl) amino]-1S-(phenylmethyl)propyl]-3-amino-2-methyl-;
- 35 2R-hydroxy-3-[[ (1,3-benzodioxol-5-yl) sulfonyl] (2-methylpropyl) amino]-1S-(phenylmethyl) propylamine;
- Carbamic acid, 2R-hydroxy-3-[[ (1,3-benzodioxol-5-yl) sulfonyl] (2-methylpropyl) amino]-1S-(phenylmethyl)propyl-, 3-pyridylmethyl ester;

Carbamic acid, 2R-hydroxy-3-[[[(1,3-benzodioxol-5-yl) sulfonyl](2-methylpropyl)amino]-1S-(phenylmethyl)propyl]-, 5-thiazolylmethyl ester;

5 Benzamide, N-[2R-hydroxy-3-[[[(1,3-benzodioxol-5-yl) sulfonyl](2-methylpropyl)amino]-1S-(phenylmethyl)propyl]-3-amino-2-methyl;

10 Benzamide, N-[2R-hydroxy-3-[[[(1,3-benzodioxol-5-yl) sulfonyl](2-methylpropyl)amino]-1S-(phenylmethyl)propyl]-4-hydroxy-2-methyl;

15 Benzamide, N-[2R-hydroxy-3-[[[(1,3-benzodioxol-5-yl) sulfonyl](2-methylpropyl)amino]-1S-(phenylmethyl)propyl]-3-hydroxy-2-methyl;

20 N-[2R-hydroxy-3-[[[(4-methoxyphenyl) sulfonyl](2-methylpropyl)amino]-1S-(phenylmethyl)propyl]-(2,6-dimethylphenoxy)acetamide;

N-[2R-hydroxy-3-[[[(4-methoxyphenyl) sulfonyl](2-methylpropyl)amino]-1S-(phenylmethyl)propyl]-(2-methylphenoxy)acetamide;

25 N-[2R-hydroxy-3-[[[(4-methoxyphenyl) sulfonyl](2-methylpropyl)amino]-1S-(phenylmethyl)propyl]-2-(2,6-dimethylphenylamino)acetamide; or

30 N-[2R-hydroxy-3-[[[(4-methoxyphenyl) sulfonyl](2-methylpropyl)amino]-1S-(phenylmethyl)propyl]-2-amino-benzothiazole-6-carboxamide.

13. A pharmaceutical composition comprising a  
35 compound of Claim 1 and a pharmaceutically acceptable carrier.

14. A pharmaceutical composition comprising a compound of Claim 8 and a pharmaceutically acceptable carrier.

5 15. Method of inhibiting a retroviral protease comprising administering an effective amount of a compound of Claim 1.

10 16. Method of inhibiting a retroviral protease comprising administering an effective amount of a compound of Claim 8.

15 17. Method of treating a retroviral infection comprising administering an effective amount of a composition of Claim 13.

20 18. Method of treating a retroviral infection comprising administering an effective amount of a composition of Claim 14.

25 19. Method of preventing replication of a retrovirus comprising administering an effective amount of a compound of Claim 1.

20. Method of preventing replication of a retrovirus comprising administering an effective amount of a compound of Claim 8.

add A<sup>3</sup>  
add B<sup>2</sup>